Notice of Allowability	Application No.	Applicant(s)	
	09/126,096	THORSETT ET AL.	
	Examiner	Art Unit	
	Deepak Rao	1624	

-- The MAILING DATE of this communication appears on the cover sheet with the correspondence address--

All claims being allowable, PROSECUTION ON THE MERITS IS (OR REMAINS) CLOSED in this application. If not included herewith (or previously mailed), a Notice of Allowance (PTOL-85) or other appropriate communication will be mailed in due course. **THIS NOTICE OF ALLOWABILITY IS NOT A GRANT OF PATENT RIGHTS.** This application is subject to withdrawal from issue at the initiative of the Office or upon petition by the applicant. See 37 CFR 1.313 and MPEP 1308.

1. ☒ This communication is responsive to *the amendment and terminal disclaimer filed on June 22, 2005*.

2. ☒ The allowed claim(s) is/are *1-4,7,10,12,13 and 15-22*.

3. ☐ The drawings filed on _____ are accepted by the Examiner.

4. ☐ Acknowledgment is made of a claim for foreign priority under 35 U.S.C. § 119(a)-(d) or (f).
   a) ☐ All b) ☐ Some* c) ☐ None of the:
      1. ☐ Certified copies of the priority documents have been received.
      2. ☐ Certified copies of the priority documents have been received in Application No. _____ .
      3. ☐ Copies of the certified copies of the priority documents have been received in this national stage application from the International Bureau (PCT Rule 17.2(a)).

   * Certified copies not received: _____.

Applicant has THREE MONTHS FROM THE "MAILING DATE" of this communication to file a reply complying with the requirements noted below. Failure to timely comply will result in ABANDONMENT of this application.
THIS THREE-MONTH PERIOD IS NOT EXTENDABLE.

5. ☐ A SUBSTITUTE OATH OR DECLARATION must be submitted. Note the attached EXAMINER'S AMENDMENT or NOTICE OF INFORMAL PATENT APPLICATION (PTO-152) which gives reason(s) why the oath or declaration is deficient.

6. ☐ CORRECTED DRAWINGS (as "replacement sheets") must be submitted.
   (a) ☐ including changes required by the Notice of Draftsperson's Patent Drawing Review (PTO-948) attached
      1) ☐ hereto or 2) ☐ to Paper No./Mail Date _____.
   (b) ☐ including changes required by the attached Examiner's Amendment / Comment or in the Office action of Paper No./Mail Date _____.

   Identifying indicia such as the application number (see 37 CFR 1.84(c)) should be written on the drawings in the front (not the back) of each sheet. Replacement sheet(s) should be labeled as such in the header according to 37 CFR 1.121(d).

7. ☐ DEPOSIT OF and/or INFORMATION about the deposit of BIOLOGICAL MATERIAL must be submitted. Note the attached Examiner's comment regarding REQUIREMENT FOR THE DEPOSIT OF BIOLOGICAL MATERIAL.

Attachment(s)

1. ☐ Notice of References Cited (PTO-892)
2. ☐ Notice of Draftperson's Patent Drawing Review (PTO-948)
3. ☐ Information Disclosure Statements (PTO-1449 or PTO/SB/08), Paper No./Mail Date _____
4. ☐ Examiner's Comment Regarding Requirement for Deposit of Biological Material
5. ☐ Notice of Informal Patent Application (PTO-152)
6. ☐ Interview Summary (PTO-413), Paper No./Mail Date _____ .
7. ☒ Examiner's Amendment/Comment
8. ☐ Examiner's Statement of Reasons for Allowance
9. ☐ Other _____.

Deepak Rao
Primary Examiner
Art Unit: 1624

S-00

EXAMINER'S AMENDMENT

The amendment filed June 22, 2005 after a decision by the Board of Patent Appeals and Interferences is not entered because prosecution is closed and the proposed claim(s) raise new issues which require further consideration or search (37 CFR 1.116(c)). See also 37 CFR 1.198. Particularly, the amendment to claim 12 wherein the term "allyl" was replaced with -- alkyl--, does not find support in the original disclosure. Further, the amendment to claim 12 changes the scope of the invention, thereby requiring further consideration and/or search.

The remaining changes requested in the amendment, however, are deemed to place the application in better form by correcting typographical and/or editorial errors in the claims.

An examiner's amendment to the record appears below. Should the changes and/or additions be unacceptable to applicant, an amendment may be filed as provided by 37 CFR 1.312. To ensure consideration of such an amendment, it MUST be submitted no later than the payment of the issue fee.

Authorization for this examiner's amendment was given in a telephone interview with Mr. Stephen Todd on July 14, 2005.

The application has been amended as follows:

In the claims:

In claim 1, line 78, delete "of" and in place insert -- **from** --.

In claim 2, line 5, delete "heterocylic" and in place insert -- **heterocyclic** --.

In claim 2, line 9, delete "heterocyclic" and in place insert -- **heterocyclic** --.

In claim 3, line 2, delete "heterocylic" and in place insert -- **heterocyclic** --.

In claim 12, line 83, delete "4'-CH3-Φ-SO_2" and in place insert -- **4'-CH_3- Φ-SO_2** --.

In claim 16, line 79, delete "of" and in place insert -- **from** --.

(Copy of complete listing of claims as amended is enclosed in Appendix)

The terminal disclaimer filed on June 22, 2005 disclaiming the terminal portion of any patent granted on this application, which would extend beyond the expiration date of U.S. Patent No. 6,492,421 has been reviewed and is accepted. The terminal disclaimer has been recorded.

Conclusion

Any inquiry concerning this communication or earlier communications from the examiner should be directed to Deepak Rao whose telephone number is (571) 272-0672. The examiner can normally be reached on Tuesday-Friday from 6:30am to 5:00pm.

If attempts to reach the examiner by telephone are unsuccessful, the examiner's supervisor, James O. Wilson, Acting-SPE of 1624, can be reached at (571) 272-0661. The fax phone number for the organization where this application or proceeding is assigned is (571) 273-8300.

Any inquiry of a general nature or relating to the status of this application or proceeding should be directed to the receptionist whose telephone number is (571) 272-1600.

Information regarding the status of an application may be obtained from the Patent Application Information Retrieval (PAIR) system. Status information for published applications may be obtained from either Private PAIR or Public PAIR. Status information for unpublished

applications is available through Private PAIR only. For more information about the PAIR system, see http://pair-direct.uspto.gov. Should you have questions on access to the Private PAIR system, contact the Electronic Business Center (EBC) at 866-217-9197 (toll-free).

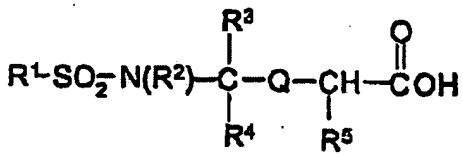
Deepak Rao
Primary Examiner
Art Unit 1624

July 27, 2005

APPENDIX

Listing of Claims:

1. **(Currently amended)**: A compound of formula I:



I

where

R^1 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 from a heterocyclic or a substituted heterocyclic group selected from the group consisting of thiazolidinyl, piperidinyl and pyrrolidinyl wherein said substituted heterocyclic group consists of from 1 to 2 substituents selected from the group consisting of fluoro, methyl, hydroxyl, amino, phenyl, thiophenyl and thiobenzyl;

R^4 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

R^5 is selected from the group consisting of isopropyl, $-CH_2X$ and $=CH-X$ where X is selected from the group consisting of:

hydrogen,

hydroxyl,

acylamino,

alkyl,

alkoxy,

aryloxy,

aryl,

aryloxyaryl,

carboxyl,

carboxylalkyl,

carboxyl-substituted alkyl,

carboxyl-cycloalkyl,

carboxyl-substituted cycloalkyl,

carboxylaryl,

carboxyl-substituted aryl,

carboxylheteroaryl,

carboxyl-substituted heteroaryl,

carboxylheterocyclic,

carboxyl-substituted heterocyclic,

cycloalkyl,

substituted alkyl,

substituted alkoxy,

substituted aryl,

substituted aryloxy,

substituted aryloxyaryl,

substituted cycloalkyl,

heteroaryl,

substituted heteroaryl,

heterocyclic,

and substituted heterocyclic;

wherein substituted aryl refers to aryl groups substituted with from 1 to 3 substituents selected from the group consisting of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, $-S(O)_2$-alkyl, $-S(O)_2$-substituted alkyl, $-S(O)_2$-cycloalkyl, $-S(O)_2$-substituted cycloalkyl, $-S(O)_2$-alkenyl, $-S(O)_2$-substituted alkenyl, $-S(O)_2$-aryl, $-S(O)_2$-substituted aryl, $-S(O)_2$-heteroaryl, $-S(O)_2$-substituted heteroaryl, $-S(O)_2$-heterocyclic, $-S(O)_2$-substituted heterocyclic, $-OS(O)_2$-alkyl, $-OS(O)_2$-substituted alkyl, $-OS(O)_2$-aryl, $-OS(O)_2$-substituted aryl, $-OS(O)_2$-heteroaryl, $-OS(O)_2$-substituted heteroaryl, $-OS(O)_2$-heterocyclic, $-OS(O)_2$-substituted heterocyclic, $-OSO_2$-NRR where R is hydrogen or alkyl, $-NRS(O)_2$-alkyl, $-NRS(O)_2$-substituted alkyl, $-NRS(O)_2$-aryl, $-NRS(O)_2$ substituted aryl, $-NRS(O)_2$-heteroaryl, $-NRS(O)_2$-substituted heteroaryl, $-NRS(O)_2$-heterocyclic, $-NRS(O)_2$-substituted heterocyclic, $-NRS(O)_2$-NR-alkyl, $-NRS(O)_2$-NR-substituted alkyl, $-NRS(O)_2$-NR-aryl, $-NRS(O)_2$-NR-substituted aryl, $-NRS(O)_2$-NR-heteroaryl, $-NRS(O)_2$-NR-substituted heteroaryl, $-NRS(O)_2$-NR-heterocyclic, $-NRS(O)_2$-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-

substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups selected from the group consisting of Boc, Cbz, and formyl or substituted with $-SO_2NRR$ where R is hydrogen or alkyl; and

substituted heteroaryl refers to heteroaryl groups substituted with from 1 to 3 substituents selected ~~of~~ from hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, subsfituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, aryloxy, substituted aryloxy, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, $-S(O)_2$-alkyl, $-S(O)_2$-substituted alkyl, $-S(O)_2$-cycloalkyl, $-S(O)_2$-substituted cycloalkyl, $-S(O)_2$-alkenyl, $-S(O)_2$-substituted alkenyl, $-S(O)_2$-aryl, $-S(O)_2$-substituted aryl, $-S(O)_2$-heteroaryl, $-S(O)_2$-substituted heteroaryl, $-S(O)_2$-heterocyclic, $-S(O)_2$-substituted heterocyclic, $-OS(O)_2$-alkyl, $-OS(O)_2$-substituted alkyl, $-OS(O)_2$-aryl, $-OS(O)_2$-substituted aryl, $-OS(O)_2$-heteroaryl, $-OS(O)_2$-substituted heteroaryl, $-OS(O)_2$-heterocyclic, $-OS(O)_2$-substituted heterocyclic, $-OSO_2NRR$ where R is hydrogen or alkyl, $-NRS(O)_2$-alkyl, $-NRS(O)_2$-substituted alkyl, $-NRS(O)_2$-aryl, $-NRS(O)_2$-substituted aryl, $-NRS(O)_2$-heteroaryl, $-NRS(O)_2$-substituted heteroaryl, $-NRS(O)_2$-heterocyclic, $-NRS(O)_2$-substituted heterocyclic, $-NRS(O)_2$-NR-alkyl, $-NRS(O)_2$-NR-substituted alkyl, $-NRS(O)_2$-NR-aryl, $-NRS(O)_2$-NR-substituted aryl, $-NRS(O)_2$-NR-heteroaryl, $-NRS(O)_2$-NR-substituted heteroaryl, $-NRS(O)_2$-NR-

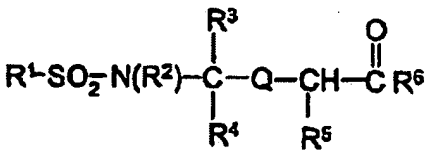
heterocyclic, -NRS(O)$_2$-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups selected from the group consisting of Boc, Cbz, and formyl or substituted with -SO_2NRR where R is hydrogen or alkyl;

with the proviso that when R^5 is =CH-X then (H) is removed from the formula and X is not hydroxyl;

Q is -C(X')NR^7- wherein R^7 is selected from the group consisting of hydrogen and alkyl; and X' is selected from the group consisting of oxygen and sulfur;

or pharmaceutically acceptable salts thereof.

2. **(Currently amended)**: A compound of formula IA below:



IA

where

R^1 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted ~~heterocylic~~ heterocyclic, heteroaryl and substituted heteroaryl;

R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 form a heterocyclic or a substituted heterocyclic group selected from the group consisting of thiazolidinyl, piperidinyl and pyrrolidinyl wherein said substituted ~~heterocyleic~~ heterocyclic

group consists of from 1 to 2 substituents selected from the group consisting of fluoro, methyl, hydroxyl, amino, phenyl, thiophenyl and thiobenzyl;

R^4 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

R^5 is selected from the group consisting of isopropyl, $-CH_2X$ and =CH-X where X is selected from the group consisting of

hydrogen,
hydroxyl,
acylamino,
alkyl,
alkoxy,
aryloxy,
aryl,
aryloxyaryl,
carboxyl,
carboxylalkyl,
carboxyl-substituted alkyl,
carboxyl-cycloalkyl,
carboxyl-substituted cycloalkyl,
carboxylaryl,
carboxyl-substituted aryl,
carboxylheteroaryl,
carboxyl-substituted heteroaryl,
carboxylheterocyclic,
carboxyl-substituted heterocyclic,
cycloalkyl,
substituted alkyl

substituted alkoxy,

substituted aryl,

substituted aryloxy,

substituted aryloxyaryl,

substituted cycloalkyl,

heteroaryl,

substituted heteroaryl,

heterocyclic,

and substituted heterocyclic;

wherein substituted aryl refers to aryl groups substituted with from 1 to 3 substituents selected from the group consisting of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, $-S(O)_2$-alkyl, $-S(O)_2$-substituted alkyl, $-S(O)_2$-cycloalkyl, $-S(O)_2$-substituted cycloalkyl, $-S(O)_2$-alkenyl, $-S(O)_2$-substituted alkenyl, $-S(O)_2$-aryl, $-S(O)_2$-substituted aryl, $-S(O)_2$-heteroaryl, $-S(O)_2$-substituted heteroaryl, $-S(O)_2$-heterocyclic, $-S(O)_2$-substituted heterocyclic, $-OS(O)_2$-alkyl, $-OS(O)_2$-substituted alkyl, $-OS(O)_2$-aryl, $-OS(O)_2$-substituted aryl, $-OS(O)_2$-heteroaryl, $-OS(O)_2$-substituted heteroaryl, $-OS(O)_2$-heterocyclic, $-OS(O)_2$-substituted heterocyclic, $-OSO_2$-NRR where R is hydrogen or alkyl, $-NRS(O)_2$-alkyl, -

$NRS(O)_2$-substituted alkyl, $-NRS(O)_2$-aryl, $-NRS(O)_2$-substituted aryl, $-NRS(O)_2$-heteroaryl, $-NRS(O)_2$-substituted heteroaryl, $-NRS(O)_2$-heterocyclic, $-NRS(O)_2$-substituted heterocyclic, $-NRS(O)_2$-NR-alkyl, $-NRS(O)_2$-NR-substituted alkyl, $-NRS(O)_2$-NR-aryl, $-NRS(O)_2$-NR-substituted aryl, $-NRS(O)_2$-NR-heteroaryl, $-NRS(O)_2$-NR-substituted heteroaryl, $-NRS(O)_2$-NR-heterocyclic, $-NRS(O)_2$-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and disubstituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups selected from the group consisting of Boc, Cbz, and formyl or substituted with $-SO_2NRR$ where R is hydrogen or alkyl; and

substituted heteroaryl refers to heteroaryl groups substituted with from 1 to 3 substituents selected from hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, aryloxy, substituted aryloxy, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thoalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, $-S(O)_2$-alkyl, $-S(O)_2$-substituted alkyl, $-S(O)_2$-cycloalkyl, $-S(O)_2$-substituted cycloalkyl, $-S(O)_2$-alkenyl, $-S(O)_2$-substituted alkenyl, $-S(O)_2$-aryl,

-$S(O)_2$-substituted aryl, -$S(O)_2$-heteroaryl, -$S(O)_2$-substituted heteroaryl, -$S(O)_2$-heterocyclic, -$S(O)_2$-substituted heterocyclic, -$OS(O)_2$-alkyl, -$OS(O)_2$-substituted alkyl, -$OS(O)_2$-aryl, -$OS(O)_2$-substituted aryl, -$OS(O)_2$-heteroaryl, -$OS(O)_2$-substituted heteroaryl, -$OS(O)_2$-heterocyclic, -$OS(O)_2$-substituted heterocyclic, -OSO_2-NRR where R is hydrogen or alkyl, -$NRS(O)_2$-alkyl, -$NRS(O)_2$-substituted alkyl, -$NRS(O)_2$-aryl, -$NRS(O)_2$-substituted aryl, -$NRS(O)_2$-heteroaryl, -$NRS(O)_2$-substituted heteroaryl, -$NRS(O)_2$-heterocyclic, -$NRS(O)_2$-substituted heterocyclic, -$NRS(O)_2$-NR-alkyl, -$NRS(O)_2$-NR-substituted alkyl, $NRS(O)_2$-NR-aryl, $NRS(O)_2$-NR-substituted aryl, $NRS(O)_2$-NR-heteroaryl, $NRS(O)_2$-NR-substituted heteroaryl, -$NRS(O)_2$-NR-heterocyclic, -$NRS(O)_2$-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups selected from the group consisting of Boc, Cbz, and formyl or substituted with -SO_2NRR where R is hydrogen or alkyl;

with the proviso that when R^5 is =CH-X then (H) is removed from the formula and X is not hydroxyl;

R^6 is selected from the group consisting of amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, -O-(N-succinimidyl), -NH-adamantyl, -O-cholest-5-en-3-β-yl, -NHOY where Y is hydrogen, alkyl, substituted alkyl, aryl, or substituted aryl, -$NH(CH_2)_pCOOY$ where *p* is an integer of from 1 to 8 and Y is as defined above, -$OCH_2NR^9R^{10}$ where R^9 is selected from the group consisting of -C(O)-aryl and -C(O)-substituted aryl and R^{10} is selected from the group consisting of hydrogen and -CH_2COOR^{11} where R^{11} is alkyl, and -$NHSO_2Z$ where Z is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic or substituted heterocyclic;

Q is -C(X')NR^7- wherein R^7 is selected from the group consisting of hydrogen and alkyl; and X' is selected from the group consisting of oxygen and sulfur;

or pharmaceutically acceptable salts thereof

with the proviso that

when R^1 is *p*-methylphenyl, R^2 and R^3 are joined together with the nitrogen atom pendent to R^2 and the carbon atom pendent to R^3 to form a pyrrolidinyl ring, R^4 is methyl, R^5 is *p*-hydroxybenzyl then R^6 is not *t*-butoxy.

3. (**Currently amended**): The compound according to Claims 1 or 2 wherein R^1 is selected from the group consisting of aryl, substituted aryl, heterocyclic, substituted ~~heterocylic~~ heterocyclic, heteroaryl and substituted heteroaryl.

4. (**Original**): The compound according to Claims 1 or 2 wherein R^1 is selected from the group consisting of 4-methylphenyl, methyl, benzyl, *n*-butyl, 4-chlorophenyl, 1-naphthyl, 2-naphthyl, 4-methoxyphenyl, phenyl, 2,4,6-trimethylphenyl, 2-(methoxycarbonyl)phenyl, 2-carboxyphenyl, 3,5-dichlorophenyl, 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 3,4-dimethoxyphenyl, 4-($CH_3C(O)NH$-)phenyl, 4-trifluoromethoxyphenyl, 4-cyanophenyl, isopropyl, 3,5-di-(trifluoromethyl)phenyl, 4-*t*-butylphenyl, 4-t-butoxyphenyl, 4-nitrophenyl, 2-thienyl, 1-N-thienyl-3-methyl-5-chloropyrazol-4-yl, phenethyl, 1-N-methylimidazol-4-yl, 4-bromophenyl, 4-amidinophenyl, 4-methylamidinophenyl, 4-[$CH_3SC(=NH)$]phenyl, 5-chloro-2-thienyl, 2,5-dichloro-4-thienyl, 1-N-methyl-4-pyrazolyl, 2-thiazolyl, 5-methyl-l,3,4-thiadiazol-2-yl, 4-[$H_2NC(S)$]phenyl, 4-aminophenyl, 4-fluorophenyl, 2-fluorophenyl, 3-fluorophenyl, 3,5-difluorophenyl, pyridin-3-yl, pyrimidin-2-yl, and 4-(3'-dimethylamino-*n*-propoxy)-phenyl.

5-6. (**Canceled**)

7. **(Previously presented)**: The compound according to Claims 1 or 2 wherein R^2 and R^3 together with the nitrogen atom bound to R^2 substituent and the carbon bound to the R^3 substituent from a substituted heterocyclic ring.

8-9. **(Canceled)**

10. **(Original)**: The compound according to Claim 1 or 2 wherein R^4 is selected from the group consisting of methyl, ethyl and phenyl.

11. **(Canceled)**

12. **(Currently amended)**: The compound according to Claims 1 or 2 wherein R^5 is selected from the group consisting of 4-methylbenzyl, 4-hydroxybenzyl, 4-methoxybenzyl, 4-*t*-butoxybenzyl, 4-benzyloxybenzyl, 4-[Φ-CH(CH_3)O-]-benzyl, 4-[Φ-CH(COOH)O-]-benzyl, 4-[BocNHCH_2C(O)NH-]benzyl, 4-chlorobenzyl, 4-[NH_2CH_2C(O)NH-]benzyl, 4-carboxybenzyl, 4-[CbzNHCH_2CH_2NH-]benzyl, 3-hydroxy-4-(Φ-OC(O)NH-)benzyl, 4-[HOOCCH_2CH_2C(O)NH-]benzyl, benzyl, 4-[Φ-C(O)NH-]benzyl, 3-carboxybenzyl, 4-iodobenzyl, 4-hydroxy-3,5-diiodobenzyl, 4-hydroxy-3-iodobenzyl, Φ-CH_2CH_2-, 4-nitrobenzyl, 2-carboxybenzyl, 4-[dibenzylamino]-benzyl, 4-[(1'-cyclopropylpiperidin-4'-yl)-C(O)NH-]benzyl, 4-[-NHC(O)CH_2NHBoc]benzyl, 4-carboxybenzyl, 4-hydroxy-3-nitrobenzyl, 4-[-NHC(O)CH(CH_3)NHBoc]benzyl, 4-[-NHC(O)CH(CH_2Φ)NHBoc]-benzyl, isobutyl, methyl, 4-[CH_3C(O)NH-]benzyl, -CH_2-(3-indolyl), *n*-butyl, *t*-butyl-OC(O)CH2-, *t*-butyl-OC(O)CH_2CH_2-, H_2NC(O)CH_2-, H_2NC(O)CH_2CH_2-, BocNH-$(CH_2)_4$-, t-butyl-OC(O)-$(CH_2)_2$-, HOOCCH_2-, HOOC$(CH_2)_2$-, $H_2N(CH_2)_4$-, isopropyl, (l-naphthyl)-CH_2-, (2-naphthyl)-CH_2-, (2-thiophenyl)-CH_2-, Φ-CH_2-OC(O)NH-$(CH_2)_4$-, cyclohexyl-CH_2-, benzyloxy-CH_2-, HOCH_2-, 5-(3-N-benzyl)imidazolyl-CH_2-, 2-pyridyl-CH_2-, 3-pyridyl-CH_2-, 4-pyridyl-CH_2-, 5-(3-N-methyl) imidazolyl-CH_2-, N-benzylpiperid-4-yl-CH_2-, N-Boc-piperidin-4-yl-CH_2-, N-(phenyl-

carbonyl)piperidin-4-yl-CH_2-, $H_3CSCH_2CH_2$-, 1-N-benzylimidazol-4-yl-CH_2-, *iso*-propyl-C(O)NH-$(CH_2)_4$-, *iso*-butyl-C(O)NH-$(CH_2)_4$-, phenyl-C(O)NH-$(CH_2)_4$-, benzyl-C(O)NH-$(CH_2)_4$-

allyl-C(O)-NH-$(CH_2)_4$-, 4-(3-N-methylimidazolyl)-CH_2-, 4-[$(CH_3)_2NCH_2CH_2CH_2$-O-

]benzyl, 4-[$(benzyl)_2$N-]-benzyl, 4-aminobenzyl, allyloxy-C(O)-NH$(CH_2)_4$-,

allyloxy-C(O)NH$(CH_2)_3$-, allyloxy-C(O)NH$(CH_2)_2$-, $NH_2C(O)CH_2$-, Φ-CH=, 2-

pyridyl-C(O)NH-$(CH_2)_4$-, 4-methylpyrid-3-yl-C(O)NH-$(CH_2)_4$-, 3-methylthien-2-yl-C(O)NH-$(CH_2)_4$-, 2-pyrrolyl-C(O)NH-$(CH_2)_4$-, 2-furanyl-C(O)NH-$(CH_2)_4$-, 4-methylphenyl-SO_2-$N(CH_3)CH_2C(O)NH(CH_2)_4$, 4-[cyclopentylacetylenyl]-benzyl, 4-[-NHC(O)-(N-Boc)-pyrrolidin-2-yl)]-benzyl-, 1-N-methylimidazol-4-yl-CH_2-, 1-N-methylimidazol-5-yl-CH_2-, imidazol-5-yl-CH_2, 6-methylpyrid-3-yl-C(0)NH-$(CH_2)_4$-, 4-[-NHC(O)NHCH$_2$CH$_2$CH$_2$-Φ]-benzyl, 4-[-NHC(O)NHCH$_2$CH$_2$-Φ]-benzyl, -CH$_2$C(O)NH$(CH_2)_4$Φ-, 4-[Φ $(CH_2)_4$O-]-benzyl, 4-[-C≡C-Φ-4'Φ]-benzyl, 4-[-C≡C-CH$_2$-O-S(O)$_2$-4'-CH$_3$-Φ]-benzyl, 4-[-C≡C-CH$_2$NHC(O)NH$_2$]-benzyl, 4-[-C≡C-CH$_2$-O-4'-COOCH$_2$CH$_3$-Φ]-benzyl, 4-[-C≡C-CH(NH$_2$)-cyclohexyl]-benzyl, -$(CH_2)_4$NHC(O)CH$_2$-3-indolyl, -$(CH_2)_4$NHC(O)CH$_2$CH$_2$-3-indolyl, -$(CH_2)_4$NHC(O)-3-(5-methoxyindolyl), -$(CH_2)_4$NHC(O)-3-(1-methylindolyl), -$(CH_2)_4$NHC(O)-4-(-SO_2 (CH_3)- Φ), -$(CH_2)_4$NHC(O)-4-(C(O)CH$_3$)-phenyl, -$(CH_2)_4$NHC(O)-4-fluorophenyl, -$(CH_2)_4$NHC(O)CH$_2$O-4-fluorophenyl, 4-[-C≡C-(2-pyridyl)]-benzyl, 4-[-C≡C-CH$_2$-O-phenyl]benzyl, 4-[-C≡C-CH$_2$OCH$_3$]-benzyl, 4-[-C≡C-(3-hydroxyphenyl)]-benzyl, 4-[-C≡C-CH$_2$-O-4'-(-C(O)OC$_2$H$_5$)phenyl]-benzyl, 4-[-C≡C-CH$_2$CH(C(O)OCH$_3$)2]-benzyl, 4-[-C≡C-CH$_2$NH-(4,5-dihydro-4-oxo-5-phenyl-oxazol-2-yl), 3-aminobenzyl, 4-[-C≡C-CH$_2$CH(NHC(O)CH$_3$)C(O)OH]-benzyl, -CH$_2$C(O)NHCH(CH$_3$) Φ, -CH$_2$C(O)NHCH$_2$-(4-dimethylamino)- Φ, -CH$_2$C(O)NHCH$_2$-4-nitrophenyl, -CH$_2$CH$_2$C(O)N(CH$_3$)CH$_2$-Φ, -CH$_2$CH$_2$C(O)NHCH$_2$CH$_2$-(N-methyl)-2-pyrrolyl, -CH$_2$CH$_2$C(O)NHCH$_2$CH$_2$CH$_2$CH$_3$, -CH$_2$CH$_2$C(O)NHCH$_2$CH$_2$-3-indolyl, -CH$_2$C(O)N(CH$_3$)CH$_2$phenyl, -CH$_2$C(O)NH(CH$_2$)2(N-methyl)-2-pyrrolyl, -CH$_2$C(O)NHCH$_2$CH$_2$CH$_2$CH$_3$, -CH$_2$C(O)NHCH$_2$CH$_2$-3-indolyl, -$(CH_2)_2$C(O)NHCH(CH$_3$) Φ, -$(CH_2)_2$C(O)NHCH$_2$-4-dimethylaminophenyl, -$(CH_2)_2$C(O)NHCH$_2$-4-nitrophenyl, -CH$_2$C(O)NH-4-[-NHC(O)CH$_3$-phenyl], -CH$_2$C(O)NH-4-pyridyl, -CH$_2$C(O)NH-4-[dimethylaminophenyl], -

$CH_2C(O)NH$-3-methoxyphenyl, $-CH_2CH_2C(O)NH$-4-chlorophenyl, $-CH_2CH_2C(O)NH$-2-pyridyl, $-CH_2CH_2C(O)NH$-4-methoxyphenyl, $-CH_2CH_2C(O)NH$-3-pyridyl, 4-[$(CH_3)_2NCH_2CH_2O$-]-benzyl, $-(CH_2)_3NHC(NH)NH-SO_2$-4-methylphenyl, 4-[$(CH_3)_2NCH_2CH_2O$-]-benzyl, $-(CH_2)_4NHC(O)NHCH_2CH_3$, $-(CH_2)_4NHC(O)NH$-phenyl, $-(CH_2)_4NHC(O)NH$-4-methoxyphenyl, 4-[4'-pyridyl-C(O)NH-]-benzyl, 4-[3'-pyridyl-C(O)NH-] -benzyl, 4-[-NHC(O)NH-3'-methylphenyl]-benzyl, 4-[-NHC(O)CH_2NHC(O)NH-3'-methylphenyl]-benzyl, 4-[-NHC(O)-(2',3'-dihydroindol-2-yl)]-benzyl, 4-[-NHC(O)-(2',3'-dihydro-N-Boc-indol-2-yl)]-benzyl, p-[-OCH_2CH_2-1'-(4'-pyrimidinyl)-piperazinyl]-benzyl, 4-[-OCH_2CH_2-(1'-piperidinyl)]-benzyl, 4-[-OCH_2CH_2-(1'-pyrrolidinyl)]-benzyl, 4-[-$OCH_2CH_2CH_2$-(1'-piperidinyl)]-benzyl-, $-CH_2$-3-(1,2,4-triazolyl), 4-[-$OCH_2CH_2CH_2$-4-(3'-chlorophenyl)-piperazin-1-yl]-benzyl, 4-[-$OCH_2CH_2N(\Phi)CH_2CH_3$]benzyl, 4-[-OCH_2-3'-(N-Boc)-piperidinyl]-benzy, 4-[di-*n*-pentylamino]-benzyl, 4-[*n*-pentylamino]-benzyl, 4-[di-*iso*-propylamino-CH_2CH_2O-]-benzyl, 4-[-OCH_2CH_2-(N-morpholinyl)]-benzyl, 4-[-O-(3'-(N-Boc)-piperidinyl]-benzyl, 4-[-OCH_2CH(NHBoc)CH_2cyclohexyl]-benzyl, *p*-[OCH_2CH_2-(N-piperidinyl]-benzyl, 4-[-$OCH_2CH_2CH_2$-(4-*m*-chlorophenyl)-piperazin-1-yl]-benzyl, 4-[-OCH_2CH_2-(N-homopiperidinyl)-benzyl, 4-[-NHC(O)-3'-(N-Boc)-piperidinyl]-benzyl, 4-[-OCH_2CH_2N(benzyl)$_2$]-benzyl, CH_2-2-thiazolyl, 3-hydroxybenzyl, 4-[-$OCH_2CH_2CH_2N(CH_3)_2$]-benzyl, 4-[-NHC(S)NHCH$_2$CH$_2$-(N-morpholino)]-benzyl, 4-[-$OCH_2CH_2N(C_2H_5)_2$]-benzyl, 4-[-$OCH_2CH_2CH_2N(C_2H_5)_2$]-benzyl, 4-[$CH_3(CH_2)_4NH$-]-benzyl, 4-[N-*n*-butyl,N-*n*-pentylamino-]benzyl, 4-[NHC(O)-4'-piperidinyl]benzyl, 4-[-NHC(O)CH(NHBoc)$(CH_2)_4$NHCbz]-benzyl, 4-[-NHC(O)-1',2',3',4'-tetrahydro-N-Boc-isoquinolin-1'-yl]-benzyl, p-[-$OCH_2CH_2CH_2$-1'-(4'-methyl)-piperazinyl]-benzyl, $-(CH_2)_4$NH-Boc, 3-[-$OCH_2CH_2CH_2N(CH_3)_2$]-benzyl, 4-[-$OCH_2CH_2CH_2N(CH_3)_2$]-benzyl, 3-[-OCH_2CH_2-(1'-pyrrolidinyl)]-benzyl, 4-[-$OCH_2CH_2CH_2N(CH_3)$benzyl]-benzyl, 4-[-NHC(S)NHCH$_2$CH$_2$CH$_2$-(N-morpholino)]-benzyl, 4-[-OCH_2CH_2-(N-morpholino)]-benzyl, 4-[NHCH$_2$-(4'-chlorophenyl)]-benzyl, 4-[NHC(O)NH-(4'-cyanophenyl)]-benzyl, 4-[-OCH_2COOH]-benzyl, 4-[-OCH_2COO-*t*-butyl]-benzyl; 4-[-NHC(O)NH-4'-fluoroindol-2-yl]-benzyl, 4-[-NHC(S)NH$(CH_2)_2$-1-piperidinyl]-benzyl, 4-[-

$N(SO_2CH_3)(CH_2)_3$-$N(CH_3)_2$]-benzyl, 4-[-$NHC(O)CH_2CH(C(O)OCH_2\Phi)$-NHCbz]-benzyl, 4-[-$NHS(O)_2$-$CF_3$]-benzyl, 3-[-O-(*N*-methylpiperidin-4'-yl]-benzyl, 4-[-$C(=NH)NH_2$]-benzyl, 4-[-$NHSO_2$-CH_2Cl]-benzyl, 4-[-NHC(O)-(1',2',3',4'-tetrahydroisoquinolin-2'-yl]-benzyl, 4-[-$NHC(S)NH(CH_2)_3$-*N*-morpholino]-benzyl, 4-[-$NHC(O)CH(CH_2CH_2CH_2CH_2NH_2)NHBoc$]-benzyl, 4-[-$C(O)NH_2$]-benzyl, 4-[-NHC(O)NH-3'-methoxyphenyl]-benzyl, 4-[-OCH_2CH_2-indol-3'-yl]-benzyl, 4-[-$OCH_2C(O)NH$-benzyl]-benzyl, 4-[-$OCH_2C(O)O$-benzyl]-benzyl, 4-[-$OCH_2C(O)OH$]-benzyl, 4-[-OCH_2-2'-(4',5'-dihydro)imidazolyl]-benzyl, -$CH_2C(O)NHCH_2$-(4-dimethylamino)phenyl, -$CH_2C(O)NHCH_2$-(4-dimethylamino)phenyl, 4-[-NHC(O)-L-2'-pyrrolidinyl-N-SO_2-4'-methylphenyl]-benzyl, 4-[-$NHC(O)NHCH_2CH_2CH_3$]-benzyl, [4-aminobenzyl]-benzyl, 4-[-OCH_2CH_2-1-(4-hydroxy-4-(3-methoxypyrrol-2-y1)-piperazinyl]-benzyl, 4-[-O-(N-methylpiperidin-4'-yl)]-benzyl, 3-methoxybenzyl, 4-[-NHC(O)-piperidin-3'-yl]-benzyl, 4-[-NHC(O)-pyridin-2'-yl]-benzyl, 4-[-$NHCH_2$-(4'-chlorophenyl)l-benzyl, 4-[-NHC(O)-(N-(~~4'-CH3-Φ-SO2~~ 4'-CH_3-Φ-SO_2)-L-prrolidin-2'-yl)]-benzyl, 4-[-$NHC(O)NHCH_2CH_2$-Φ]-benzyl, 4-[-$OCH_2C(O)NH_2$]-benzyl, 4-[-$OCH_2C(O)NH$-*t*-butyl]-benzyl, 4-[-OCH_2CH_2-1-(4-hydroxy-4-phenyl)-piperidinyl]-benzyl, 4-[-$NHSO_2$-$CH=CH_2$]-benzyl, 4-[-$NHSO_2$-CH_2CH_2Cl]-benzyl, -$CH_2C(O)NHCH_2CH_2N(CH_3)_2$, 4-[(1'-Cbz-piperidin-4'-yl)C(O)NH-]benzyl, 4-[(1'-Boc-piperidin-4'-yl)C(O)NH-]benzyl, 4-[(2'-bromophenyl)C(O)NH-]benzyl, 4-[-NHC(O)-pyridin-4'-yl] -benzyl, 4-[(4'-$(CH_3)_2NC(O)O$-)phenyl)-C(O)NH-]benzyl, 4-[-NHC(O)-1'-methylpiperidin-4'-yl-]benzyl, 4-(dimethylamino)benzyl, 4-[-NHC(O)-(1'-N-Boc)-piperidin-2'-yl]-benzyl, 3-[-NHC(O)-pyridin-4'-yl]-benzyl, 4-[(*tert*-butyl-O(O)CCH_2-O-benzyl)-NH-]benzyl, [$BocNHCH_2C(O)NH$-]butyl, 4-benzyl-benzyl, 2-hydroxyethyl, 4-[$(Et)_2NCH_2CH_2CH_2NHC(S)NH$-]benzyl, 4-[(1'-Boc-4'-hydroxypyrrolidin-2'-yl)C(O)NH-]benzyl, 4-[$\Phi CH_2CH_2CH_2NHC(S)NH$-]benzyl, 4-[(perhydroindolin-2'-yl)C(O)NH-]benzyl, 2-[4-hydroxy-4-(3-methoxythien-2-y1)piperidin-1-yl]ethyl, 4-[(1'-Boc-perhydroindolin-2'-yl)-C(O)NH-]benzyl 4-[*N*-3-methylbutyl-*N*-trifluoromethanesulfonyl)amino]-benzyl, 4-[*N*-vinylsulfonyl)amino]benzyl-, 4-[2-(2-azabicyclo[3.2.2]octan-2-y1)ethyl-O-]benzyl, 4-[4'-hydroxypyrrolidin-2'-yl)C(O)NH-]benzyl, 4-(ΦNHC(S)NH)benzyl, 4-(EtNHC(S)NH)benzyl,4-

(ΦCH$_2$NHC(S)NH)benzyl 3-[(1'-Boc-piperidin-2'-yl)C(O)NH-]benzyl, 3-[piperidin-2'-yl-C(O)NH-]benzyl, 4-[(3'-Boc-thiazolidin-4'-yl)C(O)NH-]benzyl, 4-(pyridin-3'-yl-NHC(S)NH)benzyl, 4-(CH$_3$-NHC(S)NH)benzyl-, 4-(H$_2$NCH$_2$CH$_2$CH$_2$C(O)NH)benzyl, 4-(BocHNCH$_2$CH$_2$CH$_2$C(O)NH)benzyl, 4-(pyridin-4'-yl-CH$_2$NH)benzyl, 4-[(*N*,*N*-di(4-*N*,*N*-dimethylamino)benzyl)amino]benzyl, 4-[(1-Cbz-piperidin-4-yl)C(O)NH-]butyl, 4-[ΦCH$_2$OCH$_2$ (BocHN)CHC(O)NH]benzyl, 4-[(piperidin-4'-yl)C(O)NH-]benzyl, 4-[(pyrrolidin-2'-yl)C(O)NH-]benzyl, 4-(pyridin-3'-yl-C(O)NH)butyl, 4-(pyridin-4'-yl-C(O)NH)butyl, 4-(pyridin-3'-yl-C(O)NH)benzyl, 4-[CH$_3$NHCH$_2$CH$_2$CH$_2$C(O)NH-]benzyl, 4-[CH$_3$N(Boc)CH$_2$CH$_2$CH$_2$C(O)NH-]benzyl, 4-(aminomethyl)benzyl, 4-[ΦCH$_2$OCH$_2$ (H$_2$N)CHC(O)NH]benzyl, 4-[(1',4'-di(Boc)piperazin-2'-yl)-C(O)NH-]benzyl, 4-[(piperazin-2'-yl)-C(O)NH-]benzyl, 4-[(*N*-toluenesulfonylpyrrolidin-2'-yl)C(O)NH-butyl-]benzyl, 4-[-NHC(O)-4'-piperidinyl]butyl, 4-[-NHC(O)-1'-N-Boc-piperidin-2'-yl]-benzyl, 4-[-NHC(O)-piperidin-2'-yl]-benzyl, 4-[(I '-N-Boc-2',3'-dihydroindolin-2'-yl)-C(O)NH]-benzyl, 4-(pyridin-3'-yl-CH$_2$NH)benzyl, 4-[(1'-Cbz-piperidin-4'-yl)C(O)NH-]benzyl, 4-[(piperidin-1'-yl)C(O)CH$_2$-O-]benzyl, 4-[(CH$_3$CH)$_2$NC(O)CH$_2$-O-]benzyl, 4-[HO(O)C(Cbz-NH)CHCH$_2$CH$_2$-C(O)NH-]benzyl, 4-[ΦCH$_2$O(O)C(Cbz-NH)CHCH$_2$CH$_2$-C(O)NH-]benzyl, 4-[-NHC(O)-2'-methoxyphenyl]-benzyl, 4-[(pyrazin-2'-yl)C(O)NH-]benzyl, 4-[HO(O)C(NH$_2$)CHCH$_2$CH$_2$-C(O)NH-]benzyl, 4-(2'-formyl-1',2',3',4'-tetrahydroisoquinolin-3'-yl-CH$_2$NH-)benzyl, *N*-Cbz-NHCH$_2$-, 4-[(4'-methylpiperazin-1'-yl)C(O)O-]benzyl, 4-[CH$_3$(N-Boc)NCH$_2$C(O)NH-]benzyl, 4-[-NHC(O)-(1',2',3',4'-tetrahydro-N-Boc-isoquinolin-3'-yl]-benzyl, 4-[CH$_3$NHCH$_2$C(O)NH-]benzyl, (CH$_3$)$_2$NC(O)CH$_2$-, 4-(*N*-methylacetamido)benzyl, 4-(1',2',3',4'-tetrahydroisoquinolin-3'-yl-CH$_2$NH-)benzyl, 4-[(CH$_3$)$_2$NHCH$_2$C(O)NH-]benzyl, (1-toluenesulfonylimidizol-4-yl)methyl, 4-[(1'-Boc-piperidin-4'-yl)C(O)NH-]benzyl, 4-trifluoromethylbenzyl, 4-[(2'-bromophenyl)C(O)NH-]benzyl, 4-[(CH$_3$)$_2$NC(O)NH-]benzyl, 4- [CH$_3$OC(O)NH-]benzyl, 4-[(CH$_3$)$_2$NC(O)O-]benzyl, 4-[(CH$_3$)$_2$NC(O)N(CH$_3$)-]benzyl, 4-[CH$_3$OC(O)N(CH$_3$)-]benzyl, 4-(N-methyltrifluoroacetamido)benzyl, 4-[(1'-methoxycarbonylpiperidin-4'-yl)C(O)NH-]benzyl, 4-[(4'-phenylpiperidin-4'-yl)C(O)NH-]benzyl, 4-[(4'-phenyl-1'-Boc-piperidin-4'-yl)-C(O)NH-

]benzyl, 4-[(piperidin-4'-yl)C(O)O-]benzyl, 4-[(1'-methylpiperadin-4'-yl)-O-]benzyl, 4-[(1'-methylpiperidin-4'-yl)C(O)O-]benzyl, 4-[(4'-methylpiperazin-1'-yl)C(O)NH-]benzyl, 3-[$(CH_3)_2NC(O)O$-]benzyl, 4-[(4'-phenyl-1'-Boc-piperidin-4'-yl)-C(O)O-]benzyl, 4-(N-toluenesulfonylamino)benzyl, 4-[$(CH_3CC(O)NH$-]benzyl, 4-[(morpholin-4'-yl)C(O)NH-]benzyl, 4-[$(CH_3CH_2)_2NC(O)NH$]benzyl, 4-[-C(O)NH-(4'-piperidinyl)]benzyl, 4-[(2'-trifluoromethylphenyl)C(O)NH-]benzyl, 4-[(2'-methylphenyl)C(O)NH-]benzyl, 4-[$(CH_3)_2NS(O)_2$-O-]benzyl, 4-[(pyrrolidin-2'-yl)C(O)NH-]benzyl, 4-[-NHC(O)-piperidin-1'-yl]benzyl, 4-[(thiomorpholin-4'-yl)C(O)NH-]benzyl, 4-[(thiomorpholin-4'-yl sulfone)-C(O)NH-]benzyl, 4-[(morpholin-4'-yl)C(O)O-]benzyl, 3-nitro-4-$(CH_3OC(O)CH_2O$-)benzyl, (2-benzoxazolinon-6-yl)methyl-, (*2H*-1,4-benzoxazin-3(*4H*)-one-7-yl)methyl-, 4-[$(CH_3)_2NS(O)_2NH$-]benzyl, 4-[$(CH_3)_2NS(O)_2N(CH_3)$-]benzyl, 4-[(thiomorpholin-4'-yl)C(O)O]benzyl, 4-[(thiomorpholin-4'-yl sulfone)-C(O)O-]benzyl, 4-[(piperidin-1'-yl)C(O)O-]benzyl, 4-[(pyrrolidin-1'-yl)C(O)O-]benzyl, 4-[(4'-methylpiperazin-1'-yl)C(O)O-]benzyl, 4-[(2'-methylpyrrolidin-1'-yl)-, (pyridine-4-yl)methyl-, 4-[(piperazin-4'-yl)-C(O)O-]benzyl, 4-[(1'-Boc-piperazin-4'-yl)-C(O)O-]benzyl, 4-[(4'-acetylpiperazin-1'-yl)C(O)O-]benzyl, p-[(4'-methanesulfonylpiperazin-1'-yl)-benzyl, 3-nitro-4-[(morpholin-4'-yl)-C(O)O-]benzyl, 4-{$[(CH_3)_2NC(S)]_2N$-}benzyl, *N*-Boc-2-aminoethyl-, 4-[(1,1-dioxothiomorpholin-4-yl)-C(O)O-]benzyl, 4-[$(CH_3)_2NS(O)_2$-]benzyl, 4-[(piperidin-1'-yl)C(O)O-]benzyl, 1-N-benzyl-imidazol-4-yl-CH_2-, 3,4-dioxyethylenebenzyl, 3,4-dioxymethylenebenzyl, 4-[-$N(SO_2)(CH_3)CH_2CH_2CH_2N(CH_3)_2$]benzyl, 4-[$NHC(O)CH(CH_2CH_2CH_2CH_2NH_2)NHBoc$]-benzyl, [2'-[4"-hydroxy-4"-(3"'-methoxythien-2"'-yl)piperidin-2"-yl]ethoxy]benzyl, and *p*-$[(CH_3)_2NCH_2CH_2N(CH_3)C(O)O$-]benzyl.

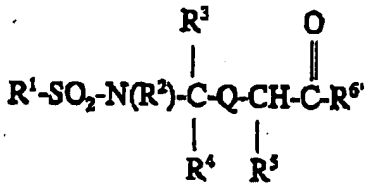
13. **(Original)**: The compound according to Claim 2 wherein R^6 is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl(3,4-enol), methoxy, ethoxy, isopropoxy, *n*-butoxy, t-butoxy, cyclopentoxy, neo-pentoxy, 2-a-iso-propyl-4-P-methylcyclohexoxy, 2-β-isopropyl-4-β-methylcyclohexoxy, - NH_2, benzyloxy, $-NHCH_2COOH$, $-NHCH_2CH_2COOH$, -NH-adamantyl, -

$NHCH_2CH_2COOCH_2CH_3$, $-NHSO_2$-*p*-$CH_3\Phi$), $-NHOR^8$ where R^8 is hydrogen, methyl, iso-propyl or benzyl, O-(N-succinimidyl), -O-cholest-5-en-3-β-yl, $-OCH\text{-}OC(O)C(CH_3)_3$, $-O(CH_2)_zNHC(O)W$ where z is 1 or 2 and W is selected from the group consisting of pyrid-3-yl, N-methylpyridyl, and N-methyl-1,4-dihydro-pyrid-3-yl, -NR"C(O)-R' where R' is aryl, heteroaryl or heterocyclic and R" is hydrogen or $-CH_2C(O)OCH_2CH_3$.

14. **(Canceled)**

15. **(Original)**: A method for binding VLA-4 in a biological sample which method coinpsises contacting the biological sample with a compound of Claims 1 or 2 under conditions wherein said compound binds to VLA-4.

16. **(Previously presented)**: A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of the formula:



where

R' is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocylic, heteroaryl and substituted heteroaryl;

R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 form a heterocyclic or a substituted heterocyclic group selected from the group consisting of thiazolidinyl, piperidinyl and pyrrolidinyl wherein said substituted heterocyclic group consists of from 1 to 2 substituents selected from the group consisting of fluoro, methyl, hydroxyl, amino, phenyl, thiophenyl and thiobenzyl;

R^4 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

R^5 is selected from the group consisting of isopropyl, $-CH_2X$ and $=CH-X$ where X is selected from the group consisting of:

hydrogen,

hydroxyl,

acylamino,

alkyl,

alkoxy,

aryloxy,

aryl,

aryloxyaryl,

carboxyl,

carboxylalkyl,

carboxyl-substituted alkyl,

carboxyl-cycloalkyl,

carboxyl-substituted cycloalkyl,

carboxylaryl,

carboxyl-substituted aryl,

carboxylheteroaryl,

carboxyl-substituted heteroaryl,

carboxylheterocyclic,

carboxyl-substituted heterocyclic,

cycloalkyl,

substituted alkyl

substituted alkoxy,

substituted aryl,

substituted aryloxy,

substituted aryloxyaryl,

substituted cycloalkyl,

heteroaryl,

substituted heteroaryl,

heterocyclic,

and substituted heterocyclic;

wherein substituted aryl refers to aryl groups substituted with from 1 to 3 substituents selected from the group consisting of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, $-S(O)_2$-alkyl, $-S(O)_2$-substituted alkyl, $-S(O)_2$-cycloalkyl, $-S(O)_2$-substituted cycloalkyl, $-S(O)_2$-alkenyl, $-S(O)_2$-substituted alkenyl, $-S(O)_2$-aryl, $-S(O)_2$-substituted aryl, $-S(O)_2$-heteroaryl, $-S(O)_2$-substituted heteroaryl, $-S(O)_2$-heterocyclic, $-S(O)_2$-substituted heterocyclic, $-OS(O)_2$-alkyl, $-OS(O)_2$-substituted alkyl, $-OS(O)_2$-aryl, $-OS(O)_2$-substituted aryl, $-OS(O)_2$-heteroaryl, $-OS(O)_2$-substituted heteroaryl, $-OS(O)_2$-heterocyclic, $-OS(O)_2$-substituted heterocyclic, $-OSO_2$-NRR where R is hydrogen or alkyl, $-NRS(O)_2$-alkyl, $-NRS(O)_2$-substituted alkyl, $-NRS(O)_2$-aryl , $-NRS(O)_2$-substituted aryl, $-NRS(O)_2$-heteroaryl, $-NRS(O)_2$-substituted heteroaryl, $-NRS(O)_2$-heterocyclic, $-NRS(O)_2$-substituted heterocyclic, -

$NRS(O)_2$-NR-alkyl, -$NRS(O)_2$-NR-substituted alkyl, -$NRS(O)_2$-NR-aryl, -$NRS(O)_2$-NR-substituted aryl, -$NRS(O)_2$-NR-heteroaryl, -$NRS(O)_2$-NR-substituted heteroaryl, -$NRS(O)_2$-NR-heterocyclic, -$NRS(O)_2$-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups selected from the group consisting of Boc, Cbz, and formyl or substituted with -SO_2NRR where R is hydrogen or alkyl; and

substituted heteroaryl refers to heteroaryl groups substituted with from 1 to 3 substituents selected ~~of~~ from hydroxyl, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl,

alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, aryloxy, substituted aryloxy, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, -$S(O)_2$-alkyl, -$S(O)_2$-substituted alkyl, -$S(O)_2$-cycloalkyl, -$S(O)_2$-substituted cycloalkyl, -$S(O)_2$-alkenyl, -$S(O)_2$-substituted alkenyl, -$S(O)_2$-aryl, -$S(O)_2$-substiuted aryl, -$S(O)_2$-heteroaryl, -$S(O)_2$-substituted heteroaryl, -$S(O)_2$-heterocyclic, -$S(O)_2$-substituted heterocyclic, -$OS(O)_2$-alkyl, -$OS(O)_2$-substituted alkyl, -$OS(O)_2$-aryl, -$OS(O)_2$-

substituted aryl, -OS(O)$_2$heteroaryl, -OS(O)$_2$-substituted heteroaryl, -OS(O)$_2$-heterocyclic, -OS(O)$_2$-substituted heterocyclic, -OSO$_2$NRR where R is hydrogen or alkyl, -NRS(O)$_2$-alkyl, -NRS(O)$_2$-substituted alkyl, -NRS(O)$_2$-aryl, -NRS(O)$_2$-substituted aryl, -NRS(O)$_2$-heteroaryl, -NRS(O)$_2$-substituted heteroaryl, -NRS(O)$_2$-heterocyclic, -NRS(O)$_2$-substituted heterocyclic, -NRS(O)$_2$-NR-alkyl, -NRS(O)$_2$-NR-substituted alkyl, -NRS(O)$_2$-NR-aryl, -NRS(O)$_2$-NR-substituted aryl, -NRS(O)$_2$-NR-heteroaryl, -NRS(O)$_2$-NR-substituted heteroaryl, -NRS(O)$_2$-NR-heterocyclic, -NRS(O)$_2$-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups selected from the group consisting of Boc, Cbz, and formyl or substituted with -SO$_2$NRR where R is hydrogen or alkyl;

with the proviso that when R^5 is =CH-X then (H) is removed from the formula and X is not hydroxyl;

R^6 is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl (3,4-enol), hydroxyl, amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy,-O-(N-succinimidyl), -NH-adamantyl, -O-cholest-5-en-3-β-yl, -NHOY where Y is hydrogen, alkyl, substituted alkyl, aryl, or substituted aryl, -NH(CH$_2$)$_p$COOY where *p* is an integer of from 1 to 8 and Y is as defined above, -OCH$_2$NR^{9}R^{10} where R^9 is selected from the group consisting of -C(O)-aryl and -C(O)-substituted aryl and R^{10} is selected from the group consisting of hydrogen and -CH$_2$COOR^{11} where R^{11} is alkyl, and –NHSO$_2$Z where Z is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic or substituted heterocyclic;

Q is -C(X')NR^7- wherein R^7 is selected from the group consisting of hydrogen and alkyl; and

X is selected from the group consisting of oxygen and sulfur;

or pharmaceutically acceptable salts thereof

with the proviso that

when R^1 is *p*-methylphenyl, R^2 and R^3 are joined together with the nitrogen atom pendent to R^2 and the carbon atom pendent to R^3 to form a pyrrolidinyl ring, R^4 is methyl, R^5 is *p*-hydroxybenzyl then R^6 is not *t*-butoxy.

17. **(Original)**: A method for the treatment of an inflammatory disease in a patient mediated by VLA-4 which methods comprise administering to the patient the pharmaceutical composition of Claim 16.

18. **(Previously presented)**: The method according to Claim 17 wherein said inflammatory disease is selected from the group consisting of asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, multiple sclerosis, rheumatoid arthritis, tissue transplantation, tumor metastasis, meningitis, encephalitis, cerebral traumas, nephritis, retinitis, atopic dermatitis, psoriasis, myocardial ischemia and acute leukocyte-mediated lung injury which occurs in adult respiratory distress syndrome.

19. **(Previously presented)**: The method according to Claim 18 wherein said diabetes is acute juvenile onset diabetes.

20. **(Previously presented)**: The method according to Claim 18 wherein said inflammatory bowel disease is ulcerative colitis or Crohn's disease.

21. **(Previously presented):** The method according to Claim 18 wherein said cerebral trauma is stroke.

22. **(Previously presented):** A compound selected from the group consisting of:

N-(toluene-4-sulfonyl)-L-α-methylprolyl-L-phenylalanine;

N-(toluene-4-sulfonyl)-L-α-methylprolyl-L-4-(isonicotinamido)phenylalanine methyl ester;

N-(toluene-4-sulfonyl)-L-α-methylprolyl-L-4-(isonicotinamido)phenylalanine;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(1-methylpiperidin-4-oxy)phenylalanine ethyl ester;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(1-methylpiperidin-4-oxy)phenylalanine;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(4-methylpiperazin-1-carbonyloxy)phenyl-alanine *tert*-butyl ester;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenyl-alanine *tert*-butyl ester;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(4-methylpiperazin-1-carbonyloxy)phenyl-alanine;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-tyrosine *tert*-butyl ester;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(morpholin-4-ylcarbonyloxy)phenyl-alanine *tert*-butyl ester;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(morpholin-4-ylcarbonyloxy)phenyl-alanine;

N-(toluene-4-sulfonyl)-α-methylprolyl-D-tyrosine *tert*-butyl ester;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(morpholin-4-ylcarbonyloxy)phenyl-alanine 1-(trimethyacetoxy)methyl ester;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-[N-(2-(N',N'-dimethylamino)ethyl)-N-methylcarbamyloxy]phenylalanine *tert*-butyl ester;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-[N-(2-(N',N'-dimethylamino)ethyl)-N-methylcarbamyloxy]phenylalanine;

N-(4-fluorobenzenesulfonyl)-α-methylprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester;

N-(4-fluorobenzenesulfonyl)-α-methylprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenyl-alanine

or pharmaceutically acceptable salts thereof or any of the ester compounds recited above wherein one ester group is replaced with another ester group selected from the group consisting of methyl ester, ethyl ester, *n*-propyl ester, isopropyl ester, *n*-butyl ester, isobutyl ester, *sec*-butyl ester and *tert*-butyl ester.